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FILE COVERS 1907 - 25 Jun 2004 VOL 141 ISS 1
FILE LAST UPDATED: 24 Jun 2004 (20040624/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s nateglinide
L1          227 NATEGLINIDE

=> s l1 and crystalline
      64678 CRYSTALLINE
L2          1 L1 AND CRYSTALLINE

=> s l1 and polymorph
      6211 POLYMORPH
L3          4 L1 AND POLYMORPH

=> s l1 and X-rays
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      209175 RAYS
      71487 X-RAYS
      (X(W)RAYS)
L4          0 L1 AND X-RAYS

=> s l1 and preparation
      1292089 PREPARATION
L5          33 L1 AND PREPARATION

=> s l5 and benzene
      278893 BENZENE
L6          2 L5 AND BENZENE

=> s l5 and ethylbenzene
      20515 ETHYLBENZENE
L7          1 L5 AND ETHYLBENZENE

=> s l5 and ethyl benzene
      412556 ETHYL
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      (ETHYL(W)BENZENE)
L8          0 L5 AND ETHYL BENZENE

=> s l5 and toluene
      151247 TOLUENE
L9          1 L5 AND TOLUENE

=> s l5 and xylene
      100623 XYLENE
L10         1 L5 AND XYLENE
```

1047779- 2

=> d 110

L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:80637 CAPLUS
DN 140:151932
TI **Preparation of polymorphic forms of nateglinide**
IN Yahalom, Ronit; Shapior, Evgeny; Dolitzky, Ben-zion; Gozlan, Yigael;
Gome, Boaz
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical Usa, Inc.
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009532	A1	20040129	WO 2003-US22375	20030718
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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PRAI	US 2002-396904P	P	20020718		
	US 2002-413622P	P	20020925		
	US 2002-414199P	P	20020926		
	US 2002-423750P	P	20021105		
	US 2002-432093P	P	20021210		
	US 2002-432962P	P	20021212		
	US 2003-442109P	P	20030123		
	US 2003-449791P	P	20030224		
	US 2003-479016P	P	20030616		
	US 2003-614266	A	20030703		

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=> d 19

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:80637 CAPLUS
DN 140:151932
TI **Preparation of polymorphic forms of nateglinide**
IN Yahalom, Ronit; Shapior, Evgeny; Dolitzky, Ben-zion; Gozlan, Yigael;
Gome, Boaz
PA Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical Usa, Inc.
SO PCT Int. Appl., 130 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009532	A1	20040129	WO 2003-US22375	20030718
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 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
 CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,
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US 2004116526	A1	20040617	US 2003-623237	20030718
PRAI US 2002-396904P	P	20020718		
US 2002-413622P	P	20020925		
US 2002-414199P	P	20020926		
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US 2002-432962P	P	20021212		
US 2003-442109P	P	20030123		
US 2003-449791P	P	20030224		
US 2003-479016P	P	20030616		
US 2003-614266	A	20030703		

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L10	ANSWER 1 OF 1	CAPLUS	COPYRIGHT 2004 ACS on STN		
AN	2004:80637	CAPLUS			
DN	140:151932				
TI	Preparation of polymorphic forms of nateglinide				
IN	Yahalom, Ronit; Shapir, Evgeny; Dolitzky, Ben-zion; Gozlan, Yigael; Gome, Boaz				
PA	Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceutical Usa, Inc.				
SO	PCT Int. Appl., 130 pp. CODEN: PIXXD2				
DT	Patent				
LA	English				
FAN.CNT	2				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004009532	A1	20040129	WO 2003-US22375	20030718
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU				
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	US 2004116526	A1	20040617	US 2003-623237	20030718
PRAI	US 2002-396904P	P	20020718		
	US 2002-413622P	P	20020925		
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	US 2002-423750P	P	20021105		
	US 2002-432093P	P	20021210		
	US 2002-432962P	P	20021212		
	US 2003-442109P	P	20030123		
	US 2003-449791P	P	20030224		

US 2003-479016P P 20030616
 US 2003-614266 A 20030703

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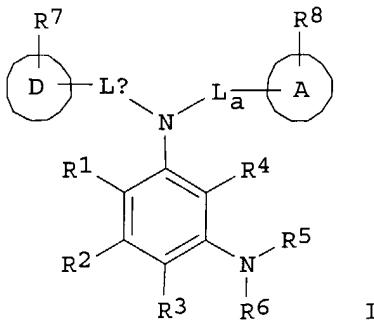
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:814896 CAPLUS
 DN 137:325228
 TI Preparation of substituted aminobenzene derivatives as
 glucocorticoid receptor modulators
 IN Link, James T.; Sorensen, Bryan K.; Patel, Jyoti R.; Arendsen, David L.;
 Li, Gaoquan
 PA USA
 SO U.S. Pat. Appl. Publ., 121 pp.
 CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002156311	A1	20021024	US 2002-72548	20020208
	US 6583180	B2	20030624		
	EP 1363876	A1	20031126	EP 2002-714910	20020212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRAI	US 2001-268787P	P	20010214		
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OS	MARPAT 137:325228				
GI					

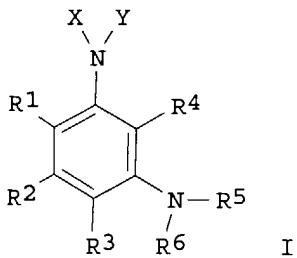


AB Title compds. I [LD, La = bond, divalent alkyl; A, D = aryl, cycloalkyl, heterocycle; R7-8 = absent, H, alkenyl, alkenylthio, alkoxy, etc.; R1-3 = H, alkoxy carbonyl, alkoxy, alkyl carbonyl, etc.; R4 = H, alkenyl, alkoxy, alkoxy alkenyl, etc.; R5 = H, alkyl; R6 = H, alkoxy carbonyl, alkoxy sulfonyl, aryl alkoxy carbonyl] were prepared. For instance, N-(2-methyl-3-nitrophenyl)methanesulfonamide (preparation given) was reduced to the corresponding aniline (EtOAc, Pd/C, H₂, 24 h) and alkylated with 2-bromobenzaldehyde (CH₂Cl₂, HOAc, NaHB(OAc)₃) to afford N-[3-[bis[(2-bromophenyl)methyl]amino]-2-methylphenyl]methanesulfonamide (II) in 7% yield. II at 1.7 μM resulted in 88% inhibition of glucocorticoid receptor binding and had IC₅₀ = 600 nM for the progesterone receptor. I are useful for treatment of symptoms related to type II

diabetes and for treatment of diseases associated with an excess or deficiency of glucocorticoids, e.g., obesity, Syndrome X, Cushing's Syndrome, Addison's disease, inflammatory diseases, etc.

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 2002:637641 CAPLUS
 DN 137:169309
 TI Preparation of substituted aminobenzene derivatives as
 glucocorticoid receptor modulators
 IN Link, James T.; Sorensen, Bryan K.; Patel, Jyoti R.; Arendsen, David L.;
 Li, Gaoquan
 PA Abbott Laboratories, USA
 SO PCT Int. Appl., 272 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002064550	A1	20020822	WO 2002-US4501	20020212
	WO 2002064550	C1	20021114		
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	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	EP 1363876	A1	20031126	EP 2002-714910	20020212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
PRAI	US 2001-783636	A	20010214		
	US 2002-72548	A	20020208		
	WO 2002-US4501	W	20020212		
OS	MARPAT	137:169309			
GI					



AB Gen, hydroxyalkyl, substituted amine; R4 is substituted aminobenzenes I
 were prepared and are novel glucocorticoid receptor modulators and are
 useful for treating type II diabetes in a mammal, wherein R1-R3 are each
 independently hydrogen, alkoxy carbonyl, alkoxy, alkoxyalkyl, alkyl,
 alkyl carbonyl, carboxy, halogen, hydroxyalkyl, substituted amine; R4 is
 hydrogen, alkenyl, alkoxy, alkoxyalkenyl, alkoxyalkoxy, alkoxyalkyl,
 alkoxyalkynyl, alkoxy carbonyl, alkoxy carbonyl alkoxyl, alkoxy carbonyl alkynyl,
 alkoxy carbonyl alkynyl, alkoxy carbonyl alkyl, alkoxy carbonyl alkynyl, alkyl,
 alkyl carbonyl, alkyl carbonyl alkynyl, alkyl carbonyl alkoxyl,
 alkyl carbonyl alkyl, alkyl carbonyl alkynyl, alkynyl, carboxy,
 carboxyalkenyl, carboxyalkyl, carboxyalkynyl, haloalkoxy, haloalkyl,
 haloalkenyl, haloalkynyl, halogen, hydroxyalkyl, substituted amine; R5 is
 hydrogen, alkyl; R6 is hydrogen, alkoxy carbonyl, alkoxy sulfonyl, alkyl,
 alkyl carbonyl, alkyl sulfonyl, aryl alkoxycarbonyl, aryl alkyl carbonyl,
 aryl alkyl sulfonyl, aryl carbonyl, aryl sulfonyl, cycloalkyl carbonyl,
 cycloalkyl alkyl carbonyl, cycloalkyl sulfonyl, cycloalkyl alkyl sulfonyl,

heterocycle carbonyl, heterocycle alkyl carbonyl, heterocyclesulfonyl, heterocycle alkylsulfonyl, amide, aminosulfonyl; X and Y are independently heteroatom-containing hydrocarbon. Thus, N-[3-(dibenzylamino)-2-methylphenyl]ethanesulfonamide was prepared as glucocorticoid receptor modulator. A method of treating symptoms related to type II diabetes wherein said symptoms are selected from the group consisting of hyperglycemia, hyperinsulinemia, inadequate, glucose clearance, obesity, hypertension and high glucocorticoid levels in a mammal comprising administering a therapeutically effective amount of a compound of title compds. A method of treating diseases associated with an excess or deficiency of glucocorticoids, said diseases selected from the group consisting of diabetes, obesity, Syndrome X, Cushing's Syndrome, Addison's disease, inflammatory diseases such as asthma, rhinitis and arthritis, allergy, autoimmune disease, immunodeficiency, anorexia, cachexia, bone loss or bone frailty, and wound healing comprising administering a therapeutically effective amount of a compound of title compds.

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=> S EP 1363876/PN,APPS

L11 3 EP 1363876/PN,APPS

=> FILE INPADOC

1047779- 2

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	9.67	43.45
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MOST RECENT INPADOC WEEK: 200426 <200426/EW>
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L12 TRANSFER L11 1- PN : 4 TERMS
L13 3 L12

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L14 3895183 US/PC
1 L13 AND US/PC

=> SEL PN

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0 L13 AND ZA/PC

=> SEL PN

L15 HAS NO ANSWERS

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2284853 EN/LA

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=> SEL PN

E3 THROUGH E3 ASSIGNED

=> S L13 AND WO/PC AND EN/LA

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2284853 EN/LA

L17 1 L13 AND WO/PC AND EN/LA

=> SEL PN

E4 THROUGH E4 ASSIGNED

=> S L13 AND CA/PC AND EN/LA

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2284853 EN/LA

L18 0 L13 AND CA/PC AND EN/LA

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L18 HAS NO ANSWERS

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E2	1	US6583180/PN
E3	1	EP1363876/PN
E4	2	WO2002064550/PN

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